C.A.S. 2/27/04

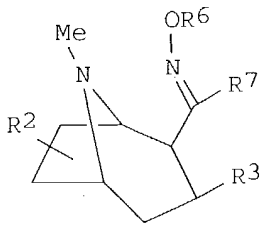
=> d ibib abs hitstr 1-13

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:950993 CAPLUS
DOCUMENT NUMBER: 140:16849
TITLE: Preparation of tropane derivatives for treatment or diagnosis of diseases and conditions involving monoamine transporters
INVENTOR(S): Blundell, Paul; Meltzer, Peter C.; Madras, Bertha K.
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA; Organix, Inc.
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099783	A2	20031204	WO 2003-US9432	20030327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003232819	A1	20031218	US 2003-400825	20030327

PRIORITY APPLN. INFO.: US 2002-368382P P 20020328
US 2002-375505P P 20020425

OTHER SOURCE(S): MARPAT 140:16849
GI



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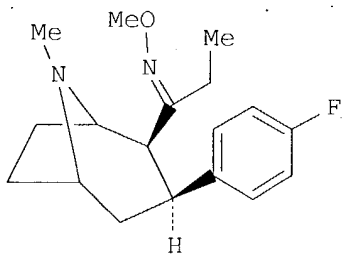


II

AB This invention relates to novel tropanes, such as I [R2 = H, OH, alkoxy, acyloxy, etc.; R3 = aryl, such as (un)substituted Ph or naphthyl; R6, R7 = H, alkyl, alkenyl, alkynyl, etc.], which have affinity for a monoamine transporter, e.g., the dopamine transporter (DAT), serotonin transporter (SET) or norepinephrine transporter (NET), and which can be useful for the early diagnosis and treatment of diverse neurol. and psychiatric conditions. These tropanes are claimed for use in the treatment or diagnosis of diseases and conditions such as attention deficit hyperactivity disorder (ADHD), Parkinson's disease, cocaine and other drug

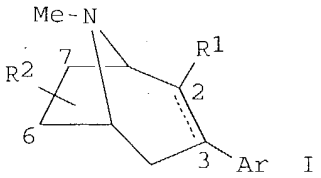
10/033,621

Inventors

DOCUMENT NUMBER: 139:85526
TITLE: Preparation of tropane analogs for use in pharmaceutical compositions for inhibition of monamine transport
INVENTOR(S): Meltzer, Peter Claude; Madras, Bertha Kalifon; Blundell, Paul
PATENT ASSIGNEE(S): USA
SOURCE: Brit. UK Pat. Appl., 92 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2383581	A1	20030702	GB 2001-31008	20011227

PRIORITY APPLN. INFO.: GB 2001-31008 20011227
OTHER SOURCE(S): MARPAT 139:85526
GI



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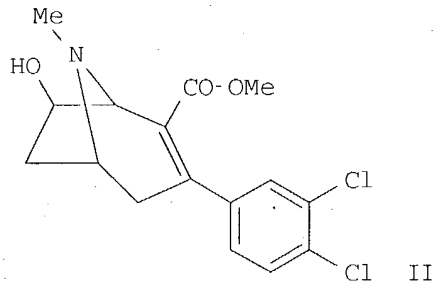


II

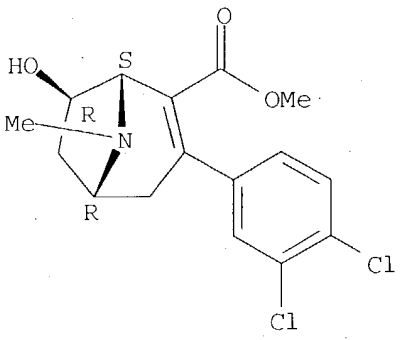
AB New tropane analogs, such as I [R1 = carboxy, acyl, alkyl, alkenyl, alkynyl, carboxamide; R2 = 6- or 7-OH, -oxo; Ar = unsubstituted- or substituted-Ph, naphthyl, anthracenyl, phenanthracenyl, benzhydryl; 2,3-single or double bond], were prepared for therapeutic uses as inhibitors of monoamine transporters. These tropane analogs are intended for treatment of disorders involving dopamine, serotonin, or norepinephrine transport, such as migraine, cocaine abuse, psychiatric disorders such as depression, neurodegenerative diseases such as Parkinson's and Alzheimer's diseases. Thus, tropane II was prepared via a multistep synthetic sequence which began with a cycloaddn. reaction of acetonedicarboxylic acid anhydride with 2,5-dihydro-2,5-dimethoxyfuran to form the target tropane ring and subsequent coupling reaction of the corresponding intermediate 3-triflate with 3,4-C6H3B(OH)2. Certain preferred compds. of the present invention have a high selectivity for the dopamine transporters vs. the serotonin transporters. Also described are pharmaceutical therapeutic compns. comprising the compds. and a method for inhibiting 5-hydroxytryptamine reuptake of a monoamine transporter by contacting the monoamine transporter with a inhibiting amount of a compound of the present invention.

IT **357924-60-8P**
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tropane analogs for therapeutic use as monoamine transport inhibitors)

RN 357924-60-8 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-

hydroxy-8-methyl-, methyl ester, (1S,5R,7R)- (9CI) (CA INDEX NAME)

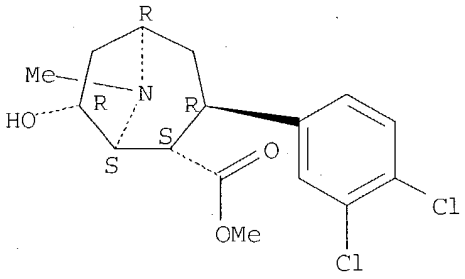
Absolute stereochemistry. Rotation (-).



IT **211047-07-3P 357924-86-8P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tropane analogs for therapeutic use as monoamine transport inhibitors)

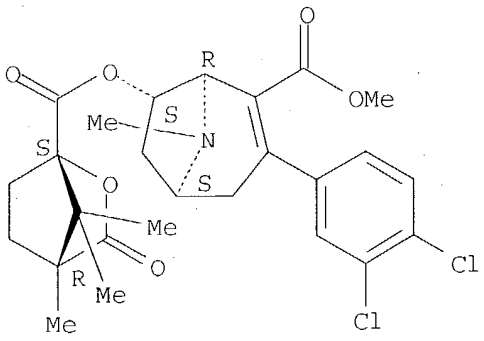
RN 211047-07-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1S,2S,3R,5R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 357924-86-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:492188 CAPLUS
DOCUMENT NUMBER: 139:77878
TITLE: Preparation of tropanes, their rhenium and technetium chelates and use as radiopharmaceuticals and diagnostic agents
INVENTOR(S): Turpin, Frederic; Mauclaire, Laurent; Masri, Fadi; Riche, Francoise; Du Moulinet D'Hardemare, Amaury
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: Fr. Demande, 65 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

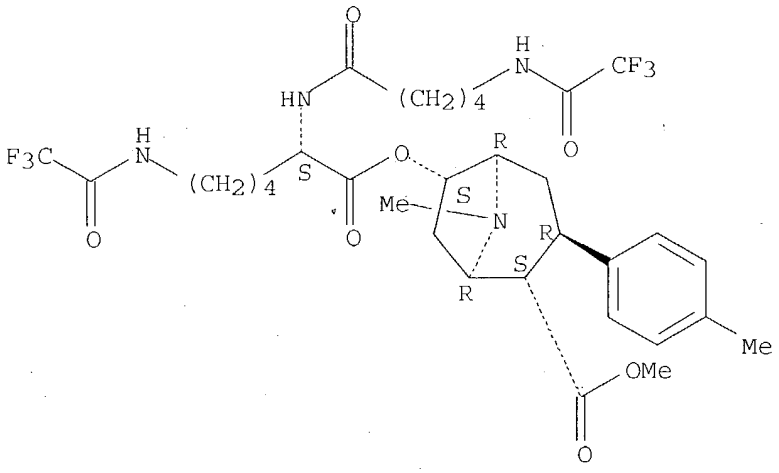
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2833952	A1	20030627	FR 2001-16867	20011226
WO 2003055879	A2	20030710	WO 2002-IB5357	20021213

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2001-16867 A 20011226
OTHER SOURCE(S): MARPAT 139:77878
GI

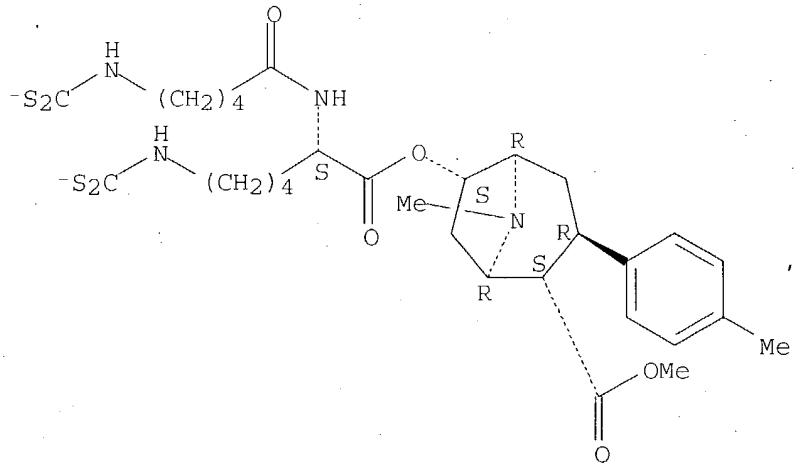
RN 549506-31-2 CAPLUS
CN L-Lysine, N2-[1-oxo-5-[(trifluoroacetyl)amino]pentyl]-N6-(trifluoroacetyl)-, (1R,2S,3R,5R,6S)-2-(methoxycarbonyl)-8-methyl-3-(4-methylphenyl)-8-azabicyclo[3.2.1]oct-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 549506-33-4 CAPLUS
CN L-Lysine, N6-(dithiocarboxy)-N2-[5-[(dithiocarboxy)amino]-1-oxopentyl]-, 1-[(1R,2S,3R,5R,6S)-2-(methoxycarbonyl)-8-methyl-3-(4-methylphenyl)-8-azabicyclo[3.2.1]oct-6-yl] ester, ion(2-) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:154286 CAPLUS
DOCUMENT NUMBER: 138:198647
TITLE: Therapeutic boat tropane compounds for treating neurological disorders
INVENTOR(S): Madras, Bertha K.; Meltzer, Peter C.; Blundell, Paul
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA; Organix, Inc.

SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015830	A1	20030227	WO 2002-US26310	20020816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125352	A1	20030703	US 2002-222530	20020816

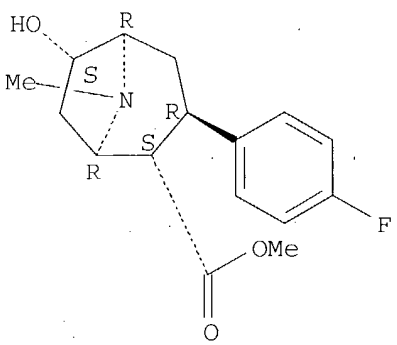
PRIORITY APPLN. INFO.: US 2001-313205P P 20010817
OTHER SOURCE(S): MARPAT 138:198647

AB The invention relates to therapeutic uses of boat tropane analogs, e.g, treatment of neurodegenerative disorders. More specifically the invention relates to a method of treating a neurol. disorder in a patient comprising administering to the patient an effective amount of a boat tropane compound Figure 1 illustrates a general scheme for preparing 2-carbomethoxy tropanes.

IT **187963-28-6 187963-38-8 187963-40-2**
187963-42-4 211047-07-3 357924-84-6
357924-85-7 357924-86-8 357924-87-9
357924-88-0 357924-89-1 357924-90-4
357924-96-0 357925-01-0 357925-02-1
357925-03-2 357925-04-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic boat tropane compds. for treating neurol. disorders)

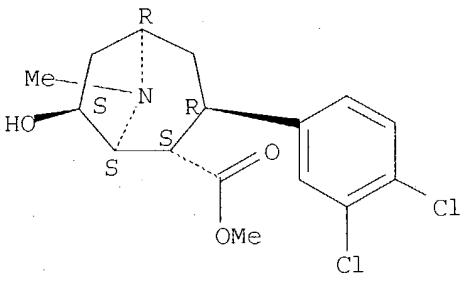
RN 187963-28-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 187963-38-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



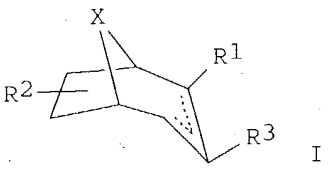
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Inventor

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:671808 CAPLUS
DOCUMENT NUMBER: 137:217124
TITLE: Preparation of tropane analogs for inhibition of monoamine transport
PATENT ASSIGNEE(S): Meltzer, Peter C., USA; Madras, Bertha K.; Blundell, Paul
SOURCE: Ger. Gebrauchsmusterschrift, 78 pp.
CODEN: GGXXFR
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 20120708	U1	20020905	DE 2001-20120708	20011221
JP 2003119194	A2	20030423	JP 2001-396980	20011227
US 2003105125	A1	20030605	US 2001-33621	20011227

PRIORITY APPLN. INFO.: US 2001-327963P P 20011009
OTHER SOURCE(S): MARPAT 137:217124
GI



I

AB Tropane analogs, such as I [R1 = acyl, alkyl, alkenyl, alkynyl, carbamoyl; R2 = OH, O, alkyloxy; X = O, CH2, CO, S, SO, SO2; R3 = (un)substituted aryl, naphthyl, anthracenyl, diphenylmethoxy; dashed line = both single or one single and one double bond], that bind selectively to monoamine transporters were prepared for pharmaceutical use. Thus, 2-carbomethoxy-3-(3,4-dichlorophenyl)-6β-hydroxy-8-methyl-8-azabicyclo[3.2.1]oct-2-ene was prepared via a multistep synthetic sequence starting from acetonedicarboxylic acid, 2,5-dimethoxydihydrofuran and 3,4-dichlorophenylboronic acid. The prepared compds. were tested for dopamine and serotonin transporter binding.

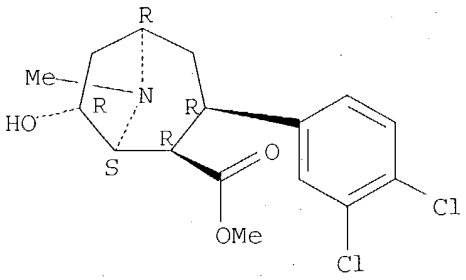
IT **454694-04-3P 454694-05-4P**

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(crystal structure; preparation of tropane analogs for inhibition of monoamine transport)

RN 454694-04-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1S,2R,3R,5R,7R)- (9CI) (CA INDEX NAME)

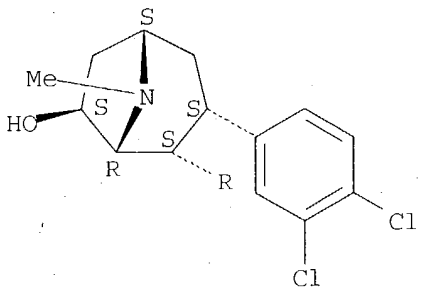
Absolute stereochemistry. Rotation (-).

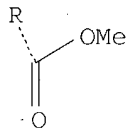


RN 454694-05-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2S,3S,5S,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).





IT **357924-61-9P**

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal structure; preparation of tropane analogs for inhibition of monoamine transport)

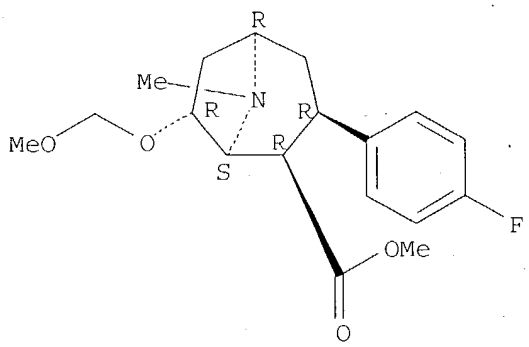
RN 357924-61-9 CAPLUS

CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,5S,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

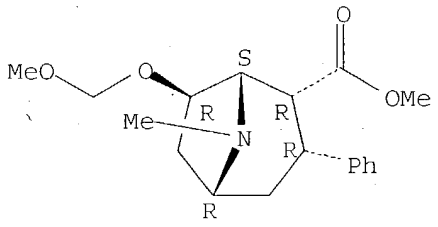
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2S,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

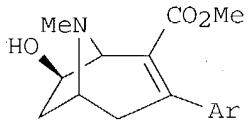


RN 454694-27-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-(methoxymethoxy)-8-methyl-3-phenyl-, methyl ester, (1R,2S,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:474115 CAPLUS
DOCUMENT NUMBER: 135:210919
TITLE: Synthesis of 6- and 7- hydroxy-8-azabicyclo[3.2.1]octanes and their binding affinity for the dopamine and serotonin transporters
AUTHOR(S): Meltzer, Peter C.; Wang, Bing; Chen, Zhengming; Blundell, Paul; Jayaraman, Muthusamy; Gonzalez, Mario D.; George, Clifford; Madras, Bertha K.
CORPORATE SOURCE: Organix Inc., Woburn, MA, 01801, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(16), 2619-2635
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:210919
GI



I

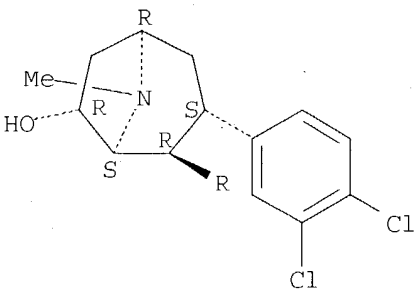
AB Cocaine is a potent stimulant of the central nervous system. Its reinforcing and stimulant effects are related to its ability to inhibit the membrane bound dopamine transporter (DAT). Inhibition of the DAT causes an increase of dopamine in the synapse with a resultant activation of postsynaptic receptors. The rapid onset and short duration of action of cocaine contribute to its high addictive potential. Consequently, the design of tropane analogs of cocaine that display longer onset times on the DAT and extended duration of action is driven by the need to develop cocaine medication. This study extends the exploration of bridge hydroxylated azabicyclo[3.2.1]octanes (tropanes). A series of 6- and 7-hydroxylated tropanes, e.g. I (Ar = 3,4-ClC6H3, 2-naphthyl, 4-FC6H4, Ph), was prepared and evaluated biol. Structure activity relationships lead to the following conclusions. Bridge hydroxylated tropanes retain biol. enantioselectivity but display higher DAT vs. SERT selectivity, particularly for the 3α-aryl compds. as compared with the 3β-aryl compds., than the bridge unsubstituted analogs. The 7-hydroxyl compds. are more potent at the DAT than their 6-hydroxyl counterparts. The general SAR of the tropanes is maintained and the rank order of potencies based on substitution at the C3 position remains 3,4-dichloro > 2-naphthyl > 4-fluoro > Ph. The crystal structure of the products was determined by x-ray anal.

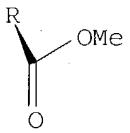
IT **357925-09-8 357925-10-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and dopamine and serotonin transporter binding affinity of hydroxyazabicyclooctanes)

RN 357925-09-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2S,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

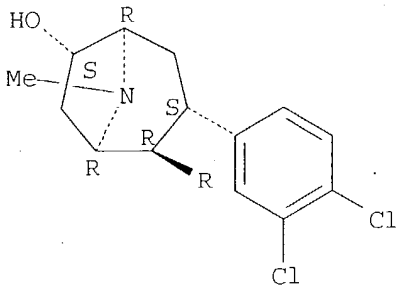


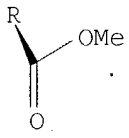


RN 357925-10-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



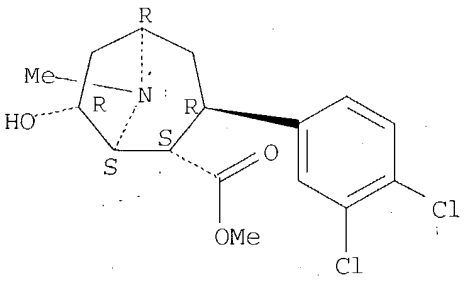


IT **211047-07-3P 357924-61-9P 357924-86-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and dopamine and serotonin transporter binding affinity of hydroxyazabicyclooctanes)

RN 211047-07-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1S,2S,3R,5R,7R)- (9CI) (CA INDEX NAME)

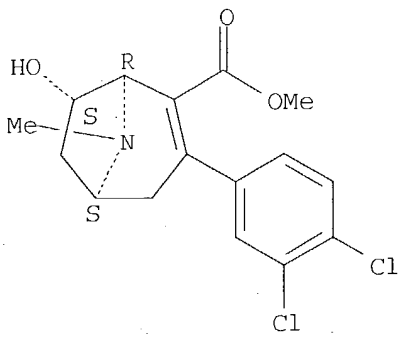
Absolute stereochemistry. Rotation (-).



RN 357924-61-9 CAPLUS

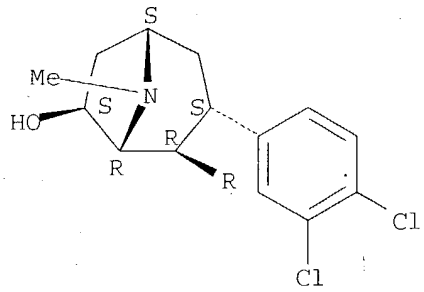
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,5S,7S)- (9CI) (CA INDEX NAME)

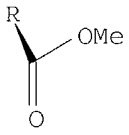
Absolute stereochemistry. Rotation (+).



RN 357924-86-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)- (9CI) (CA INDEX NAME)

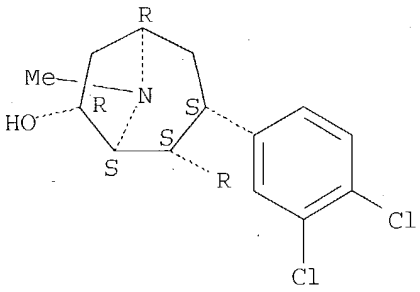
Absolute stereochemistry. Rotation (+).

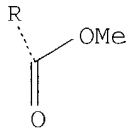




IT **187963-36-6P 187963-40-2P 187963-42-4P**
357924-59-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dopamine and serotonin transporter binding affinity of hydroxyazabicyclooctanes)
RN 187963-36-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

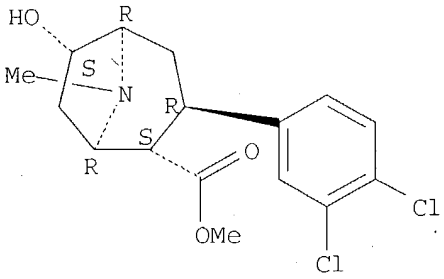
Relative stereochemistry.





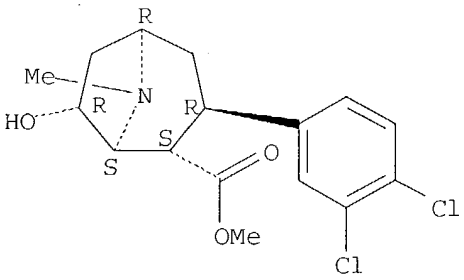
RN 187963-40-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



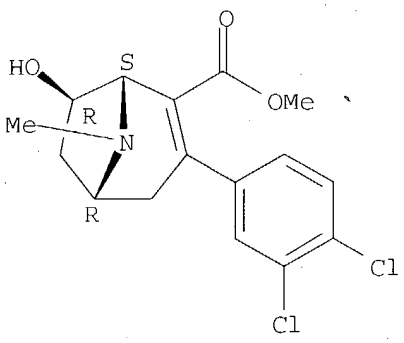
RN 187963-42-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 357924-59-5 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

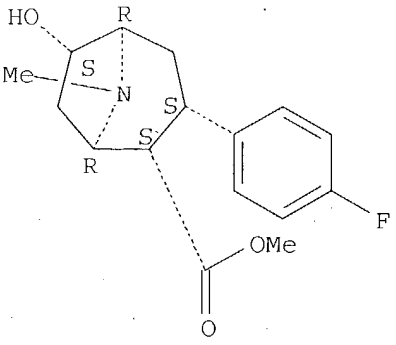


IT **187963-13-9P 187963-28-6P 187963-32-2P**
187963-34-4P 187963-38-8P 211047-06-2P
357924-55-1P 357924-56-2P 357924-57-3P
357924-58-4P 357924-60-8P 357924-62-0P
357924-63-1P 357924-64-2P 357924-76-6P
357924-77-7P 357924-78-8P 357924-79-9P
357924-80-2P 357924-84-6P 357924-85-7P
357924-87-9P 357924-88-0P 357924-89-1P
357924-90-4P 357924-95-9P 357924-96-0P
357925-03-2P 357925-04-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and dopamine and serotonin transporter binding affinity of hydroxyazabicyclooctanes)

RN 187963-13-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

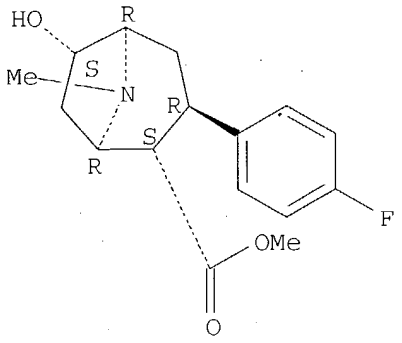
Relative stereochemistry.



RN 187963-28-6 CAPLUS

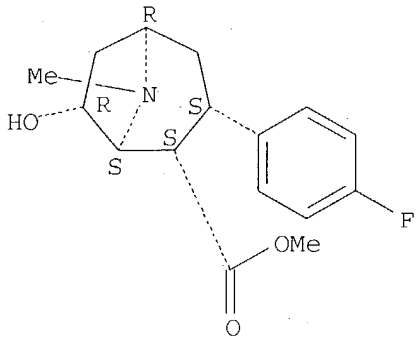
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



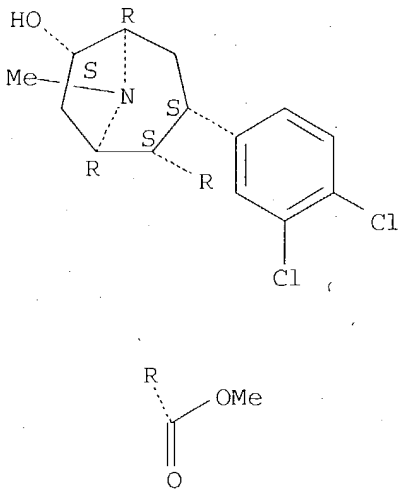
RN 187963-32-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 187963-34-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

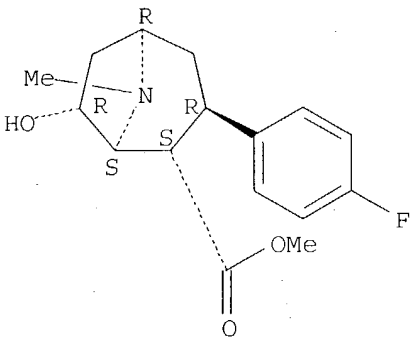
Relative stereochemistry.



RN 187963-38-8 CAPLUS

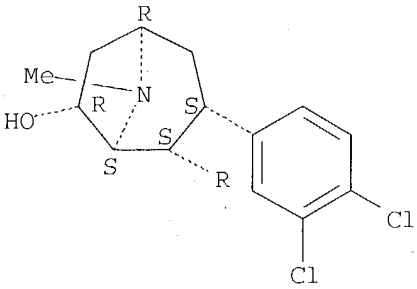
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

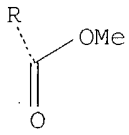
Relative stereochemistry.



RN 211047-06-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1S,2S,3S,5R,7R)- (9CI) (CA INDEX NAME)

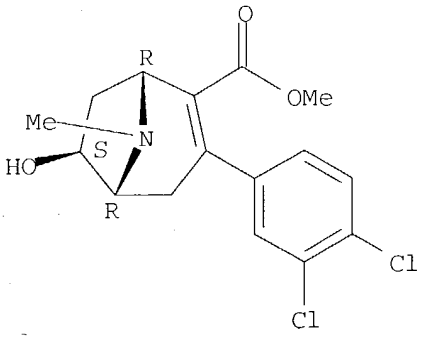
Absolute stereochemistry. Rotation (+).





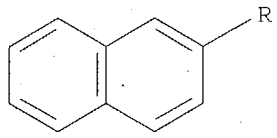
RN 357924-55-1 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

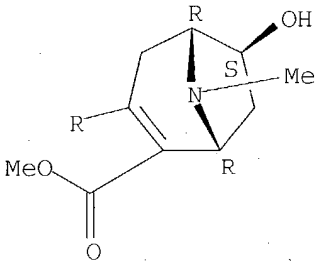
Relative stereochemistry.



RN 357924-56-2 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 6-hydroxy-8-methyl-3-(2-naphthalenyl)-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

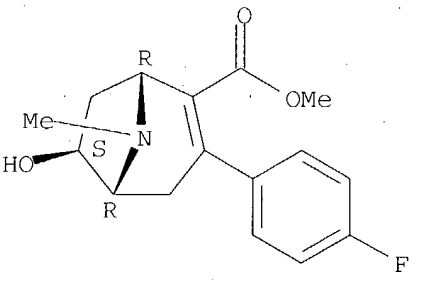
Relative stereochemistry.





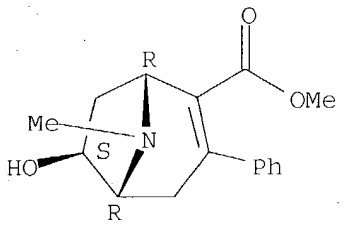
RN 357924-57-3 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(4-fluorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



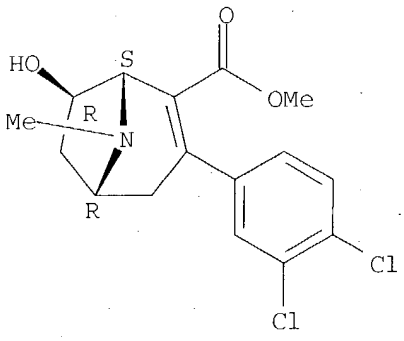
RN 357924-58-4 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 6-hydroxy-8-methyl-3-phenyl-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



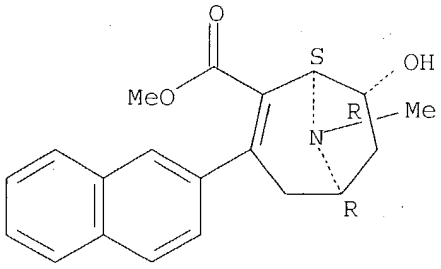
RN 357924-60-8 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1S,5R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



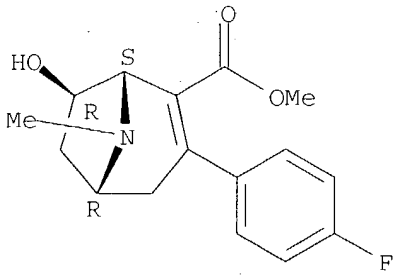
RN 357924-62-0 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 7-hydroxy-8-methyl-3-(2-naphthalenyl)-, methyl ester, (1R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



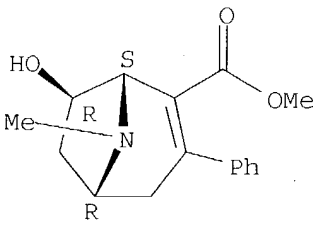
RN 357924-63-1 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



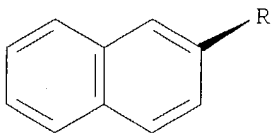
RN 357924-64-2 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 7-hydroxy-8-methyl-3-phenyl-, methyl ester, (1R,5S,7S)-rel- (9CI) (CA INDEX NAME)

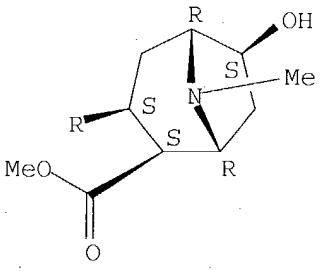
Relative stereochemistry.



RN 357924-76-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(2-naphthalenyl)-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

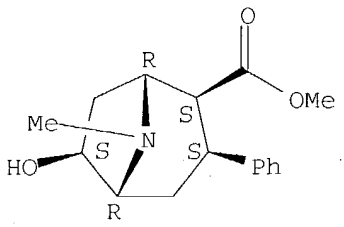
Relative stereochemistry.





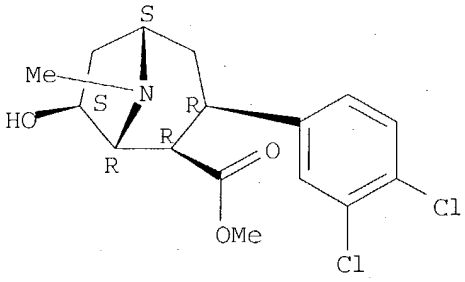
RN 357924-77-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-phenyl-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



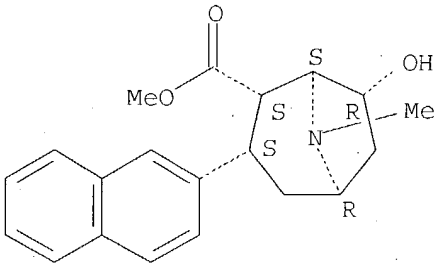
RN 357924-78-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3R,5S,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



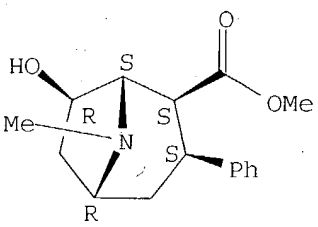
RN 357924-79-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-(2-naphthalenyl)-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



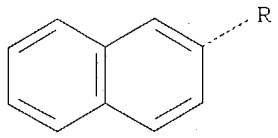
RN 357924-80-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-phenyl-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

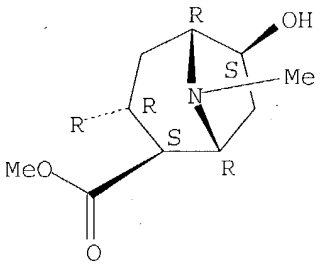
Relative stereochemistry.



RN 357924-84-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(2-naphthalenyl)-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

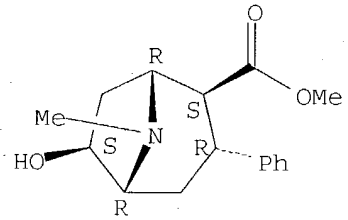
Relative stereochemistry.





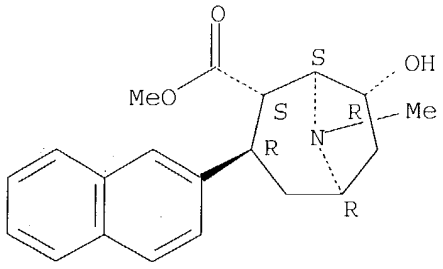
RN 357924-85-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-phenyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



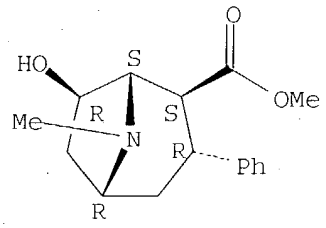
RN 357924-87-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-(2-naphthalenyl)-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



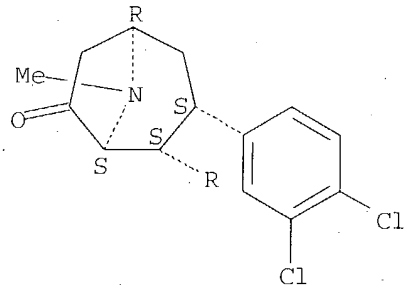
RN 357924-88-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-phenyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

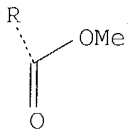
Relative stereochemistry.



RN 357924-89-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-8-methyl-7-oxo-, methyl ester, (1R,2R,3R,5S)-rel- (9CI) (CA INDEX NAME)

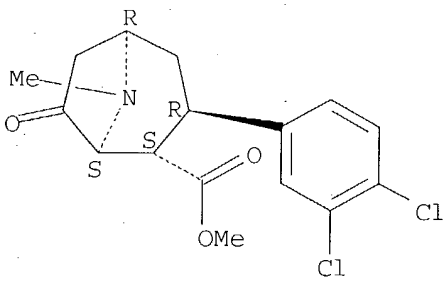
Relative stereochemistry.





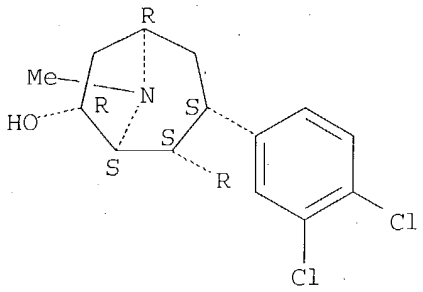
RN 357924-90-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-8-methyl-7-oxo-, methyl ester, (1R,2R,3S,5S)-rel- (9CI) (CA INDEX NAME)

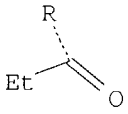
Relative stereochemistry.



RN 357924-95-9 CAPLUS
CN 1-Propanone, 1-[(1R,2R,3R,5S,7S)-3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-8-azabicyclo[3.2.1]oct-2-yl]-, rel- (9CI) (CA INDEX NAME)

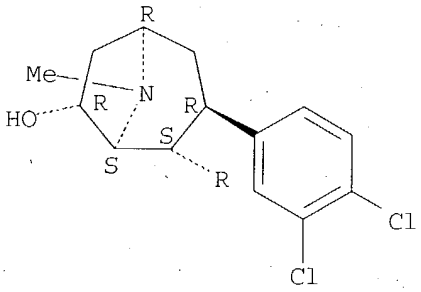
Relative stereochemistry.

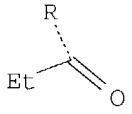




RN 357924-96-0 CAPLUS
CN 1-Propanone, 1-[(1R,2R,3S,5S,7S)-3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-8-azabicyclo[3.2.1]oct-2-yl]-, rel- (9CI) (CA INDEX NAME)

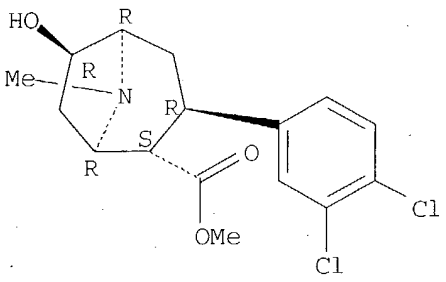
Relative stereochemistry.





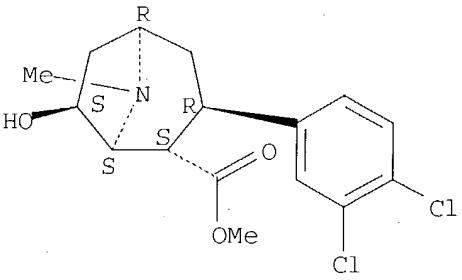
RN 357925-03-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3R,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 357925-04-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



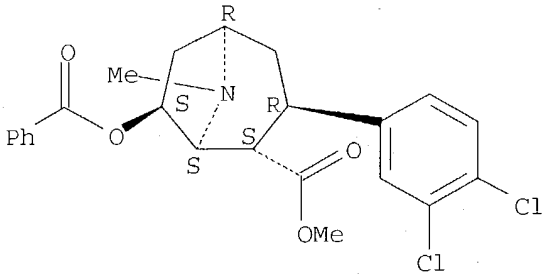
IT **187963-22-0P 187963-24-2P 187963-26-4P**
187963-46-8P 187963-47-9P 187963-48-0P
187963-49-1P 187963-50-4P 187963-51-5P
187963-52-6P 187963-53-7P 187963-54-8P
357924-47-1P 357924-48-2P 357924-49-3P
357924-50-6P 357924-65-3P 357924-66-4P
357924-67-5P 357924-68-6P 357924-69-7P
357924-70-0P 357924-71-1P 357924-72-2P
357924-91-5P 357924-92-6P 357924-93-7P
357924-94-8P 357924-99-3P 357925-01-0P
357925-02-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dopamine and serotonin transporter binding affinity of hydroxyazabicyclooctanes)

RN 187963-22-0 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(4-fluorophenyl)-6-(methoxymethoxy)-8-methyl-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

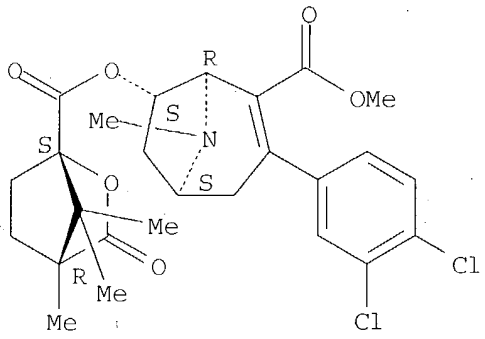
dichlorophenyl)-8-methyl-, methyl ester, (1R,2R,3S,5S,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **357925-00-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and dopamine and serotonin transporter binding affinity of hydroxyazabicyclooctanes)
RN 357925-00-9 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-8-methyl-7-[[[(1S,4R)-4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-yl]carbonyl]oxy]-, methyl ester, (1R,5S,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:514111 CAPLUS
DOCUMENT NUMBER: 133:267001
TITLE: Chemical Synthesis and Pharmacology of 6- and 7-Hydroxylated 2-Carbomethoxy-3-(p-tolyl)tropanes: Antagonism of Cocaine's Locomotor Stimulant Effects
AUTHOR(S): Zhao, Lianyun; Johnson, Kenneth M.; Zhang, Mei; Flippen-Anderson, Judith; Kozikowski, Alan P.
CORPORATE SOURCE: Drug Discovery Program Department of Neurology, Georgetown University Medical Center, Washington, DC, 20007-2197, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(17), 3283-3294
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

10/033,621

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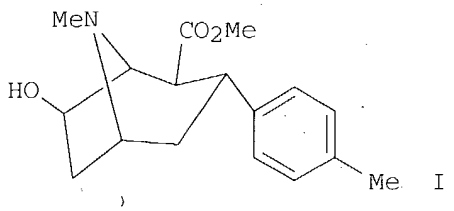


I

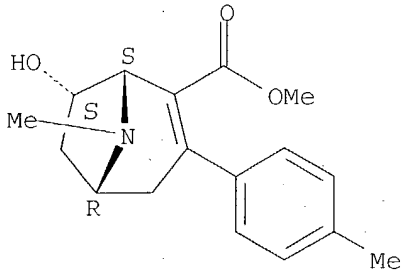
AB To identify mols. that might act as cocaine antagonists or cocaine partial agonists, efforts were made to further capitalize on an earlier finding regarding the ability of a 7-methoxylated pseudococaine analog to act as a weak cocaine functional antagonist. A series of the 6- and 7-hydroxylated WIN analogs possessing a boat or chair conformation of the tropane ring were prepared and tested for their ability to displace [3H]mazindol binding and to inhibit high-affinity monoamine uptake into rat brain nerve endings. These 6- and 7-hydroxylated WIN analogs were readily prepared by use of a classical Willstaetter synthesis to construct an appropriately functionalized tropane ring followed by use of a Suzuki coupling reaction to introduce the aryl group at position 3. Reduction of the resulting tropene by use of SmI2 or by catalytic hydrogenation followed by deprotection delivered the final target compds. Some of these compds. were found to retain considerable affinity as inhibitors of the dopamine transporter (DAT) and the norepinephrine transporter (NET), but they were less potent inhibitors of the serotonin transporter (SERT). None of the compds. of the present series revealed any substantial potency difference in [3H]mazindol binding vs. [3H]DA uptake, and failed to show "cocaine antagonism" when tested for their ability to prevent cocaine's inhibition of DA transport. One of these hydroxylated WIN analogs, I which possesses nanomolar potency at the DAT and NET and micromolar potency at the SERT, when tested in vivo, was found capable of attenuating cocaine's locomotor activity (AD50 = 94 mg/kg). This work provides further support for the hypothesis that drugs that lack the ability to inhibit transport by all three monoaminergic transporters may exhibit "partial" cocaine-like properties, but act as cocaine antagonists. It may prove valuable to examine the behavioral activity of other 6- and 7-substituted tropanes in animal behavioral paradigms in the search for a cocaine medication.

IT **297772-62-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6- and 7-hydroxylated 2-carbomethoxy-3-(p-tolyl)tropanes and their antagonism of cocaine's locomotor stimulant effects)

RN 297772-62-4 CAPLUS

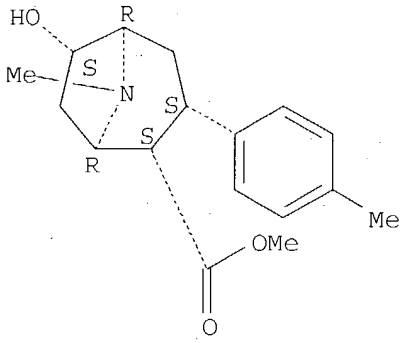
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 7-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,5S,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



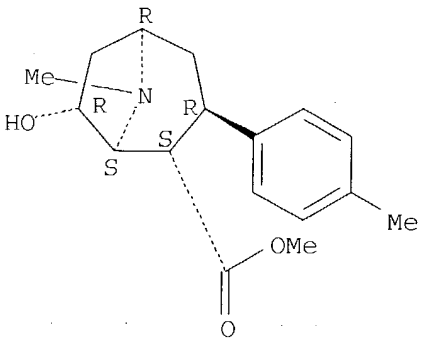
IT **245404-67-5P 297772-76-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 6- and 7-hydroxylated 2-carbomethoxy-3-(p-tolyl)tropanes and their antagonism of cocaine's locomotor stimulant effects)
RN 245404-67-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 297772-76-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

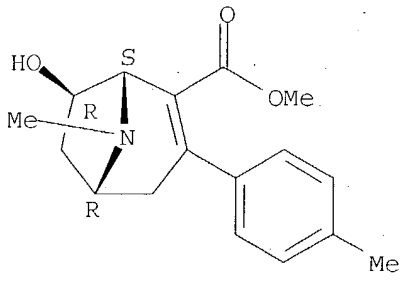


IT **297772-60-2P 297772-66-8P 297772-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6- and 7-hydroxylated 2-carbomethoxy-3-(p-tolyl)tropanes and their antagonism of cocaine's locomotor stimulant effects)

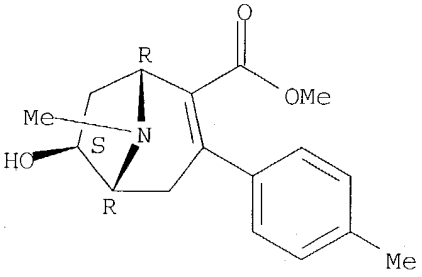
RN 297772-60-2 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 7-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



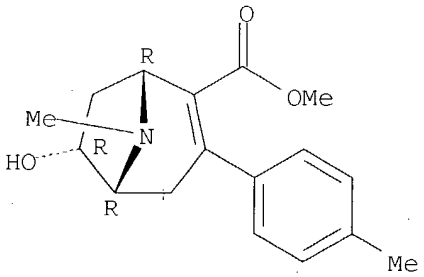
RN 297772-66-8 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 297772-68-0 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

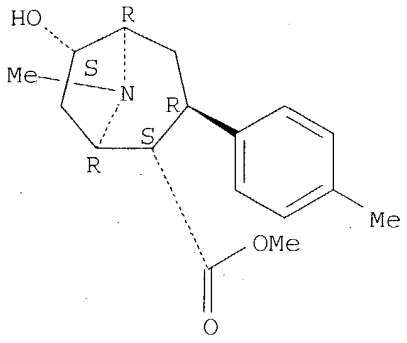


IT **245404-68-6P 245404-69-7P 245404-70-0P**
297772-75-9P 297772-77-1P 297772-78-2P
297772-79-3P 297772-81-7P 297772-82-8P
297772-83-9P 297772-84-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 6- and 7-hydroxylated 2-carbomethoxy-3-(p-tolyl)tropanes and their antagonism of cocaine's locomotor stimulant effects)

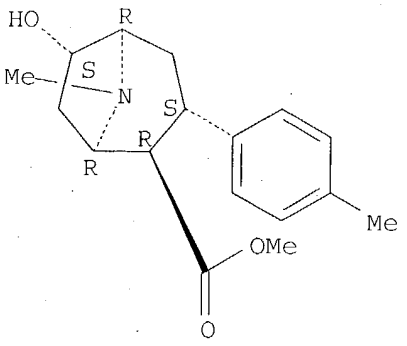
RN 245404-68-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



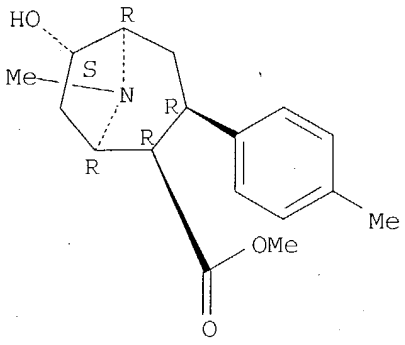
RN 245404-69-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



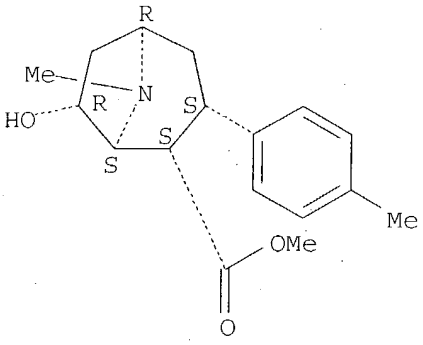
RN 245404-70-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



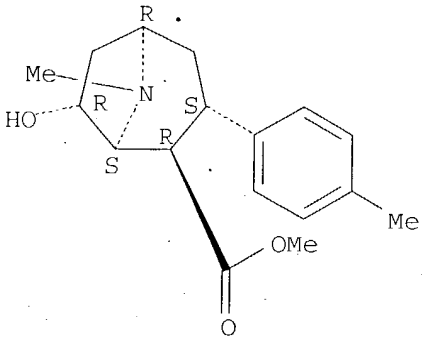
RN 297772-75-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



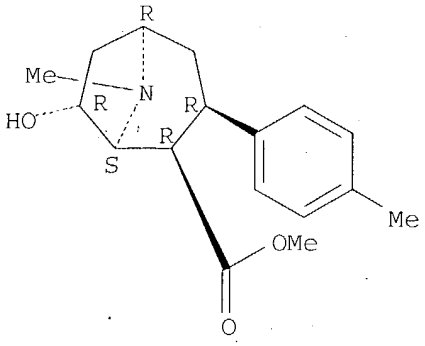
RN 297772-77-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



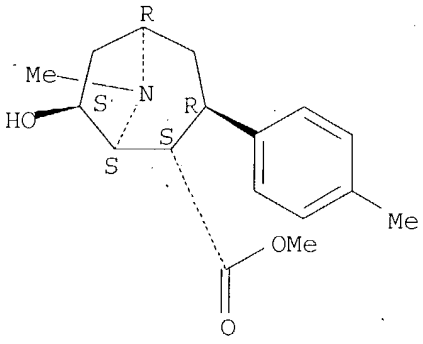
RN 297772-78-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



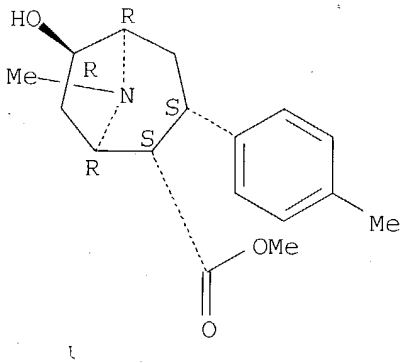
RN 297772-79-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 7-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3S,5S,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



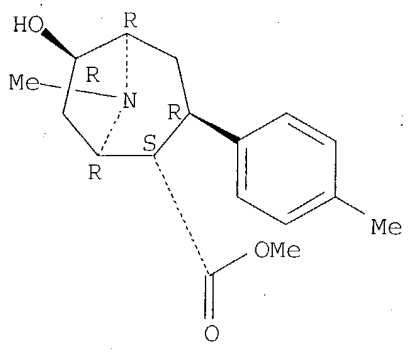
RN 297772-81-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3S,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



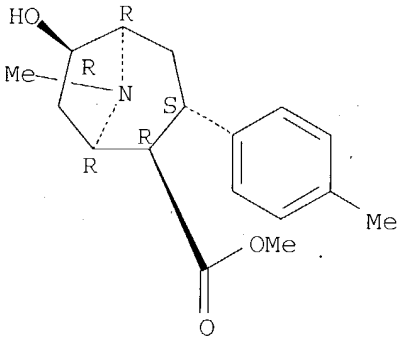
RN 297772-82-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3R,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



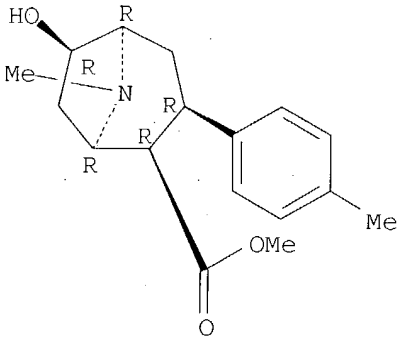
RN 297772-83-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3S,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

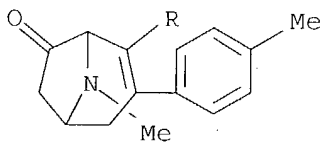


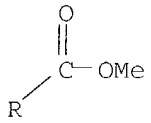
RN 297772-84-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3R,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



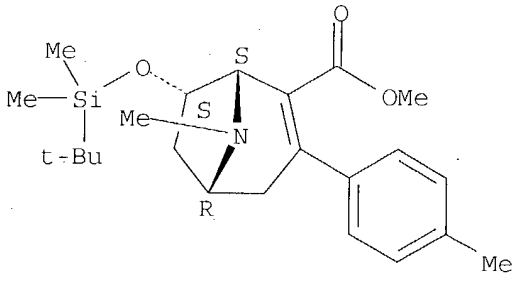
IT **245404-75-5P 297772-64-6P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6- and 7-hydroxylated 2-carbomethoxy-3-(p-tolyl)tropanes and their antagonism of cocaine's locomotor stimulant effects)
RN 245404-75-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-[[(1,1-



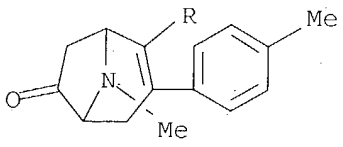


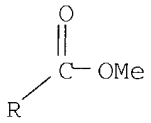
RN 297772-63-5 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 7-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,5S,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 297772-67-9 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 8-methyl-3-(4-methylphenyl)-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



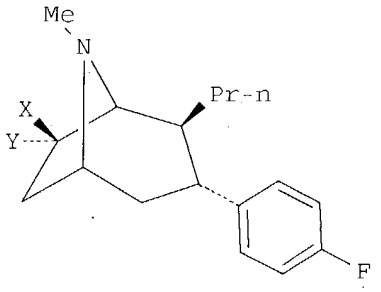


RN 297772-70-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3S,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:458490 CAPLUS
DOCUMENT NUMBER: 133:208016
TITLE: An enantioselective synthesis and biobehavioral evaluation of 7-fluoro-3-(p-fluorophenyl)-2-propyltropanes
AUTHOR(S): Prakash, K. R. C.; Trzcinska, Monika; Johnson, Kenneth M.; Kozikowski, Alan P.
CORPORATE SOURCE: Drug Discovery Program, Institute for Cognitive and Computational Sciences, Georgetown University Medical Center, Washington, DC, 20007-2197, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(13), 1443-1446
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:208016
GI



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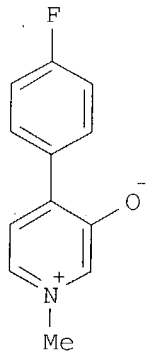


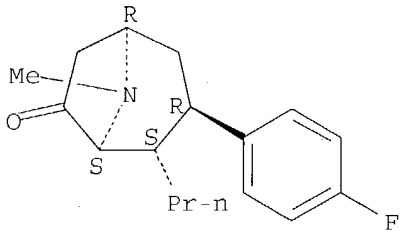
II

AB Optically pure 7-fluorotropanes (I) (X = H, F; Y = H, F; X=Y = F), were synthesized as structural probes of the dopamine transporter. The synthesis of I was accomplished through the asym. 1,3-dipolar cycloaddn. reaction of the oxidopyridinium betaine (II) with the chiral dipolarophile (R)-p-tolyl vinyl sulfoxide. In the preliminary anal., tropane I (X = H, Y = F) (III) was found to reduce the rewarding effects of cocaine in the brain stimulation reward paradigm.

IT **290810-00-3P 290810-01-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and biobehavioral evaluation of 7-fluoro-3-(p-fluorophenyl)-2-propyltropanes)

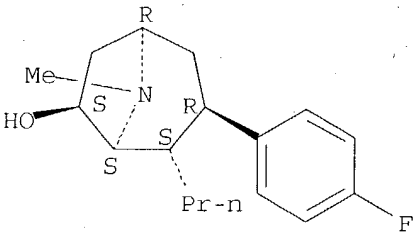
RN 290810-00-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-6-one, 3-(4-fluorophenyl)-8-methyl-4-propyl-, (1R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 290810-01-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-6-ol, 3-(4-fluorophenyl)-8-methyl-4-propyl-, (1R,3R,4S,5S,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:429223 CAPLUS
DOCUMENT NUMBER: 131:272043
TITLE: Synthesis of the 2β,3β-, 2α,3β-, 2β,3α- and 2α,3α- isomers of 6β-hydroxy-3-(p-tolyl)tropane-2-carboxylic acid methyl ester
AUTHOR(S): Zhao, Lianyun; Kozikowski, Alan P.
CORPORATE SOURCE: Drug Discovery Program, Georgetown University Medical Center, Institute for Cognitive and Computational Sciences, Washington, DC, 20007-2197, USA
SOURCE: Tetrahedron Letters (1999), 40(27), 4961-4964
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:272043

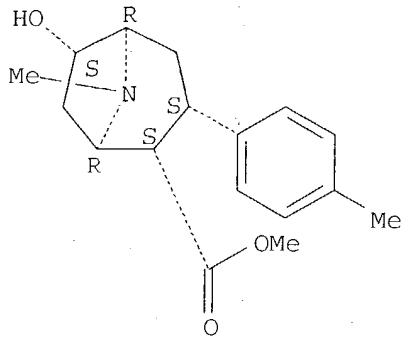
AB 6-Hydroxytropanone was synthesized by a Mannich type condensation between acetonedicarboxylic acid, methylamine hydrochloride, and the hydrolysis product of 2,5-dimethoxydihydrofuran and was used as the key intermediate for the synthesis of the four racemic isomers of 6β-hydroxy-2-(methoxycarbonyl)-3-(p-tolyl)tropane. The IC50 and Ki values for inhibition of mazindol binding at DAT were determined for the compds.

IT **245404-67-5P 245404-68-6P 245404-69-7P 245404-70-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 2β,3β-, 2α,3β-, 2β,3α- and 2α,3α- isomers of 6β-hydroxy-3-(p-tolyl)tropane-2-carboxylic acid Me ester)

RN 245404-67-5 CAPLUS

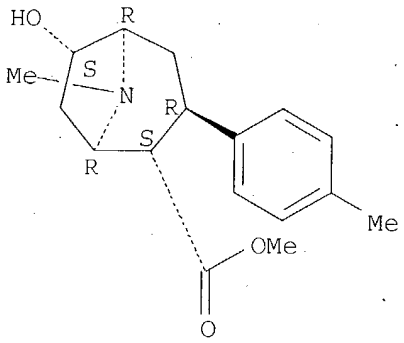
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



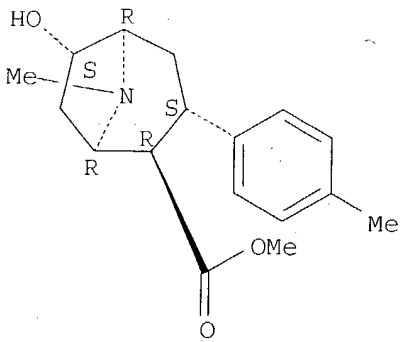
RN 245404-68-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



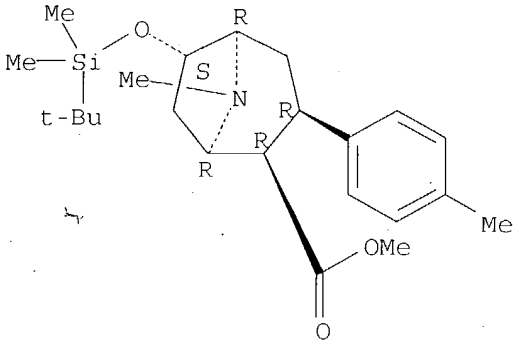
RN 245404-69-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 245404-70-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 6-hydroxy-8-methyl-3-(4-methylphenyl)-, methyl ester, (1R,2R,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



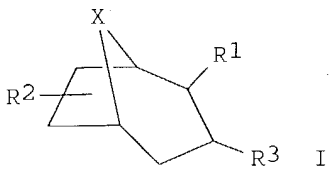
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:64802 CAPLUS
DOCUMENT NUMBER: 130:125255
TITLE: Preparation of tropane analogs and methods for inhibition of monoamine transport
INVENTOR(S): Meltzer, Peter C.; Madras, Bertha K.; Blundell, Paul; Chen, Zhengming
PATENT ASSIGNEE(S): Organix, Inc., USA; President and Fellows of Harvard College
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902526	A1	19990121	WO 1998-US14326	19980710
W: CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5948933	A	19990907	US 1997-893921	19970711
EP 996619	A1	20000503	EP 1998-934396	19980710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001509508	T2	20010724	JP 2000-502048	19980710
US 6353105	B1	20020305	US 1999-314441	19990519
US 6417221	B1	20010918	US 2000-671534	20000927
US 2002010207	A1	20020124	US 2001-875523	20010606
US 6670375	B2	20031230		
US 2003069269	A1	20030410	US 2002-97062	20020313

PRIORITY APPLN. INFO.:
US 1997-893921 A 19970711
US 1995-552584 A1 19951103
WO 1998-US14326 W 19980710
US 1999-314441 A3 19990519
US 2000-671534 A1 20000927
US 2001-875523 A1 20010606

OTHER SOURCE(S): MARPAT 130:125255
GI



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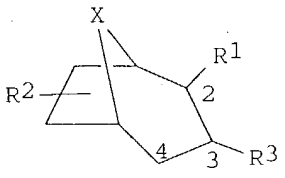


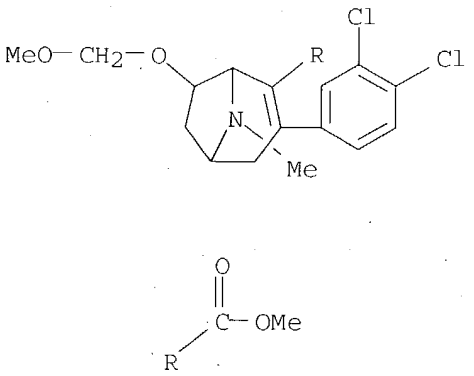
II

AB Tropane analogs I and II [R1 = CO2Me, acyl, carbamoyl, etc.; R2 = OH, halogen, alkyloxy, amino, etc.; R3 = Ph, naphthyl, diphenylmethoxy, etc.; X = O, CH2, CO, S, SO, SO2, NR4; R4 = alkyl, alkylsulfonyl, etc.; C2-C3 or C3-C4 unsatd.] that bind selectively to monoamine transporters were prepared and formulated for pharmaceutical use inhibiting 5-HT re-uptake. Thus, 2-carbomethoxy-8-oxabicyclo[3.2.1]octan-3-one was prepared in 37% yield by cyclization of 2,5-dimethoxytetrahydofuran with 1,3-bis(trimethylsiloxy)-1-methoxybuta-1,3-diene. The prepared compds. were tested for dopamine, serotonin, and norepinephrine transporter binding.

IT **219932-00-0P 219932-01-1P 219932-02-2P**
219932-03-3P 219932-04-4P 219932-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tropane analogs and methods for inhibition of monoamine transport)

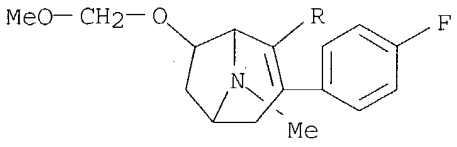
RN 219932-00-0 CAPLUS

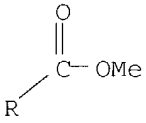
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester (9CI) (CA INDEX NAME)



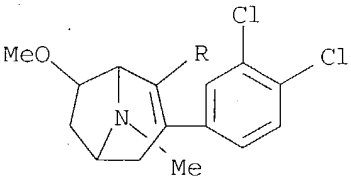
RN 219932-01-1 CAPLUS

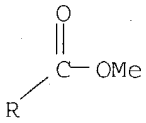
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(4-fluorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester (9CI) (CA INDEX NAME)



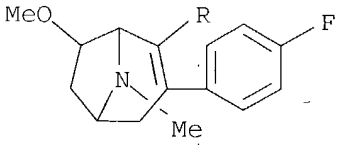


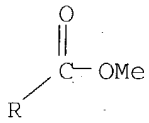
RN 219932-02-2 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-methoxy-8-methyl-, methyl ester (9CI) (CA INDEX NAME)





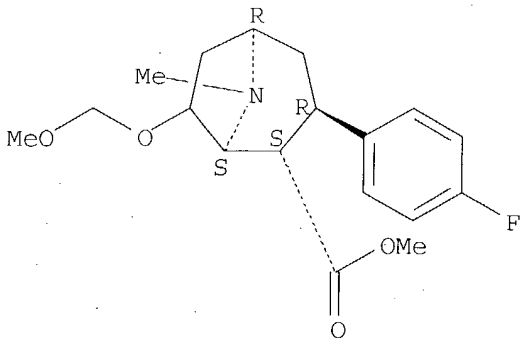
RN 219932-03-3 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(4-fluorophenyl)-7-methoxy-8-methyl-, methyl ester (9CI) (CA INDEX NAME)





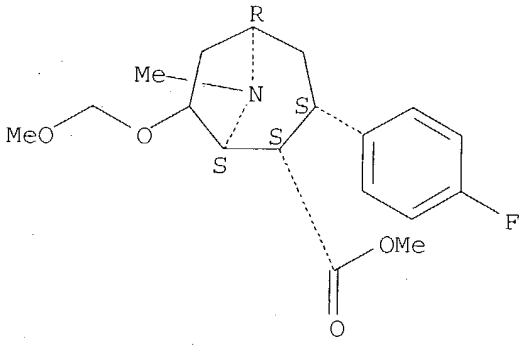
RN 219932-04-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3S,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



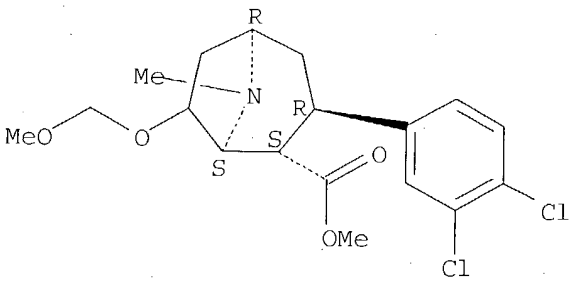
RN 219932-05-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



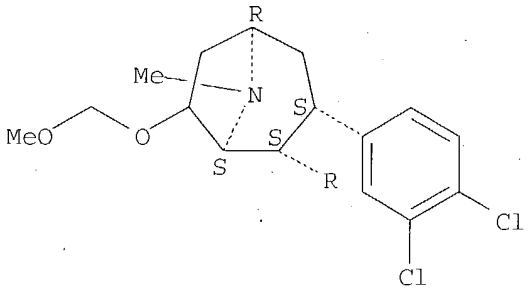
IT **219931-83-6P 219931-84-7P 219931-85-8P**
219931-86-9P 219931-87-0P 219931-88-1P
219931-89-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tropane analogs and methods for inhibition of monoamine transport)
RN 219931-83-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3S,5S)-rel- (9CI) (CA INDEX NAME)

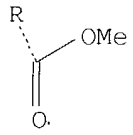
Relative stereochemistry.



RN 219931-84-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3R,5S)-rel- (9CI) (CA INDEX NAME)

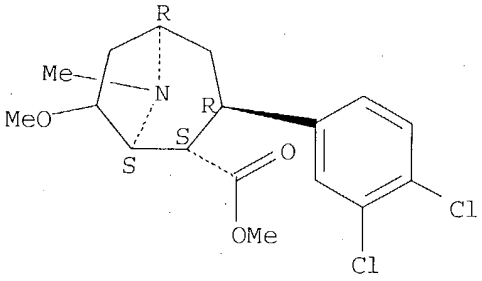
Relative stereochemistry.





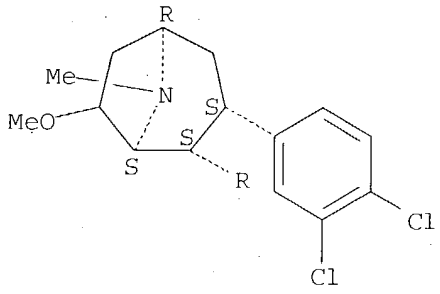
RN 219931-85-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-methoxy-8-methyl-, methyl ester, (1R,2R,3S,5S)-rel- (9CI) (CA INDEX NAME)

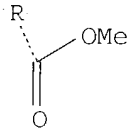
Relative stereochemistry.



RN 219931-86-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-methoxy-8-methyl-, methyl ester, (1R,2R,3R,5S)-rel- (9CI) (CA INDEX NAME)

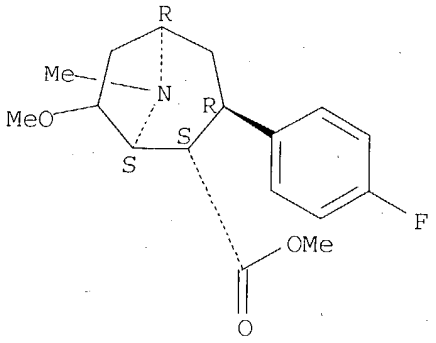
Relative stereochemistry.





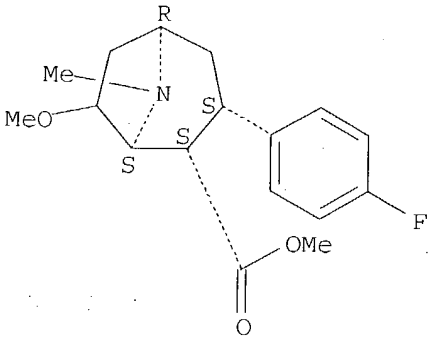
RN 219931-87-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-methoxy-8-methyl-, methyl ester, (1R,2R,3S,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 219931-88-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-methoxy-8-methyl-, methyl ester, (1R,2R,3R,5S)-rel- (9CI) (CA INDEX NAME)

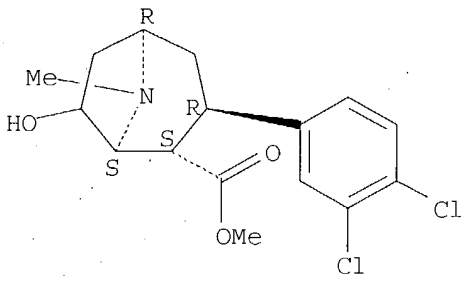
Relative stereochemistry.



RN 219931-89-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-

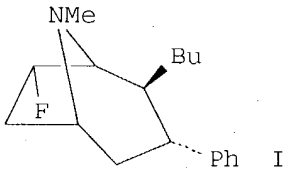
hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402146 CAPLUS
DOCUMENT NUMBER: 129:175818
TITLE: Synthesis and biological activity of new 6- and 7-substituted 2β-butyl-3-phenyltropanes as ligands for the dopamine transporter
AUTHOR(S): Prakash, K. R. C.; Araldi, Gian Luca; Smith, Miles P.; Zhang, Mai; Johnson, Kenneth M.; Kozikowski, Alan P.
CORPORATE SOURCE: Georgetown University Medical Center, Drug Discovery Program, Institute for Cognitive and Computational Sciences, Washington, DC, 20007-2197, USA
SOURCE: Medicinal Chemistry Research (1998), 8(1/2), 43-58
CODEN: MCREEB; ISSN: 1054-2523
PUBLISHER: Birkhaeuser Boston
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



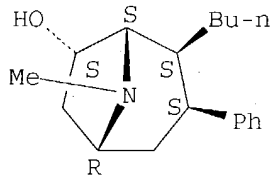
I

AB The synthesis and biol. activity of 2β-butyl-3-phenyltropane derivs. with the Ph ring in the α- or β-configuration and bearing different substituents at the 6- and 7-positions of the tropane ring were studied. All the compds. synthesized showed micromolar or submicromolar affinity for the DAT in the rat striatum. The 7α-fluoro-3α-phenyltropane derivative I was found to be the most potent, inhibiting mazindol binding with a Ki of 0.20 μM and dopamine reuptake with a Ki of 0.49 μM.

IT **211516-81-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of new 6- and 7-substituted 2β-butyl-3-phenyltropanes as ligands for the dopamine transporter)
RN 211516-81-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-6-ol, 4-butyl-8-methyl-3-phenyl-, (1R,3S,4S,5S,6S)-rel- (9CI) (CA INDEX NAME)

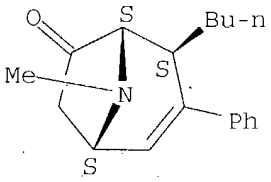
Relative stereochemistry.



IT **211516-79-9P 211516-80-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and biol. activity of new 6- and 7-substituted 2β-butyl-3-phenyltropanes as ligands for the dopamine transporter)

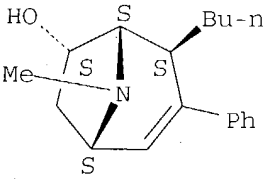
RN 211516-79-9 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-en-6-one, 4-butyl-8-methyl-3-phenyl-, (1R,4R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 211516-80-2 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-en-6-ol, 4-butyl-8-methyl-3-phenyl-, (1R,4R,5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

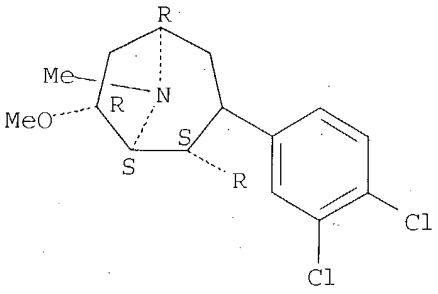
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402144 CAPLUS
DOCUMENT NUMBER: 129:156457
TITLE: Structure activity relationships of inhibition of the dopamine transporter by 3-arylbicyclo[3.2.1]octanes
AUTHOR(S): Meltzer, Peter C.; Blundell, Paul; Madras, Bertha K.
CORPORATE SOURCE: Organix Inc, Woburn, MA, 01801, USA
SOURCE: Medicinal Chemistry Research (1998), 8(1/2), 12-34
CODEN: MCREEB; ISSN: 1054-2523
PUBLISHER: Birkhaeuser Boston
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In this report we present an overview of the Structure Activity

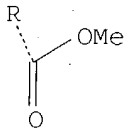
Relationships (SAR) which govern the interaction of 3-arylbicyclo[3.2.1]octanes (tropane analogs) with the dopamine transporter (DAT). Data for inhibition of the DAT by bicyclo[3.2.1]octanes modified at C-2, C-3, C-7 and 8-N are presented and discussed. We postulate that the three dimensional volume of the bicyclo[3.2.1]octanes influences binding to the DAT and may play a greater role in inhibition of the transporter than does the presence of specific functionality.

IT **211047-05-1P**, O 1112 **211047-06-2P**, O 1164
211047-07-3P, O 1163
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure activity relationships of inhibition of dopamine transporter by 3-arylbicyclo[3.2.1]octanes)

RN 211047-05-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-methoxy-8-methyl-, methyl ester, (1S,2S,5R,7R)- (9CI) (CA INDEX NAME)

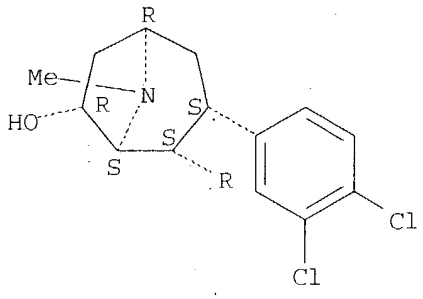
Absolute stereochemistry.

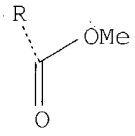




RN 211047-06-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1S,2S,3S,5R,7R)- (9CI) (CA INDEX NAME)

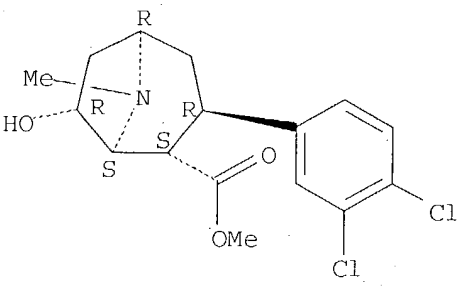
Absolute stereochemistry. Rotation (+).





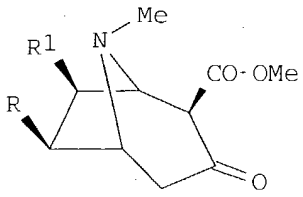
RN 211047-07-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1S,2S,3R,5R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

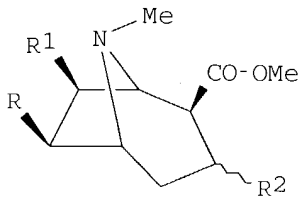


REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:142811 CAPLUS
DOCUMENT NUMBER: 126:212273
TITLE: Synthesis of 6- or 7- hydroxy and 6- or 7- methoxy tropanes
AUTHOR(S): Chen, Zhengming; Meltzer, Peter C.
CORPORATE SOURCE: Organix Inc., Woburn, MA, 01801, USA
SOURCE: Tetrahedron Letters (1997), 38(7), 1121-1124
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:212273
GI



I



II

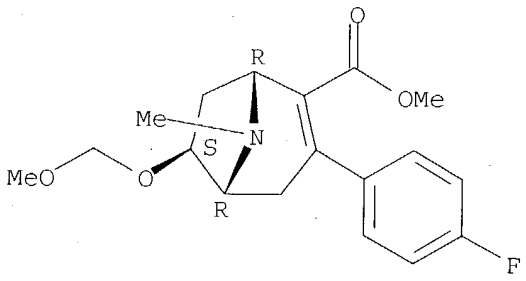
AB A novel Mannich type condensation between HO2CCH2COCH2CO2Me, methylamine hydrochloride, and OHCCH(OH)CH2CHO gave stereoselectively exo 6- or 7-substituted β-keto ester tropanones I (R = OH, OMe, R1 = H; R = H, R1 = OH, OMe). Further elaboration afforded a series of 6- or 7- hydroxy and 6- or 7- methoxy 2β-methoxycarbonyl-3-aryltropanes II (R2 = 4-F-C6H4, 3,4-Cl2C6H4).

IT **187963-22-0P 187963-24-2P 187963-26-4P**
187963-46-8P 187963-47-9P 187963-48-0P
187963-49-1P 187963-50-4P 187963-51-5P
187963-52-6P 187963-53-7P 187963-54-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 6- or 7-hydroxy and 6- or 7-methoxy tropanes)

RN 187963-22-0 CAPLUS

CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(4-fluorophenyl)-6-(methoxymethoxy)-8-methyl-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

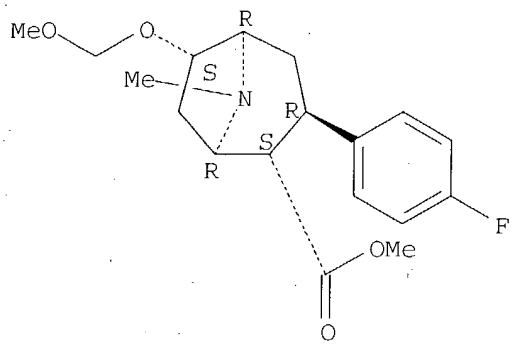
Relative stereochemistry.



RN 187963-24-2 CAPLUS

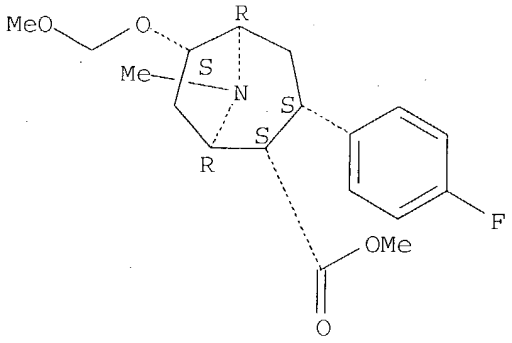
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



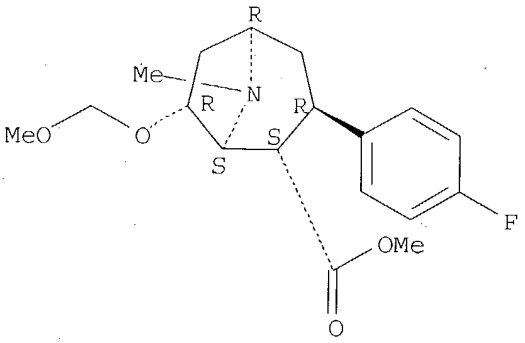
RN 187963-26-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



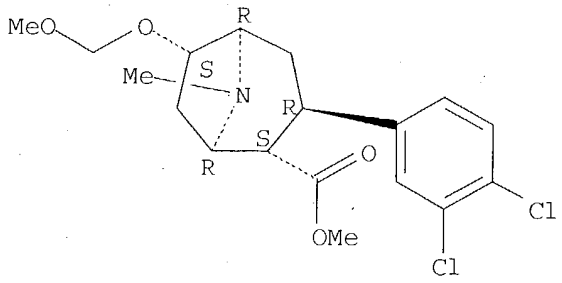
RN 187963-46-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



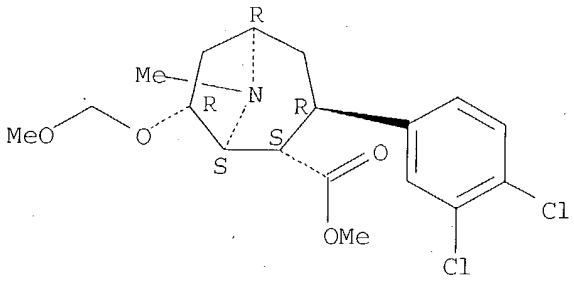
RN 187963-47-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



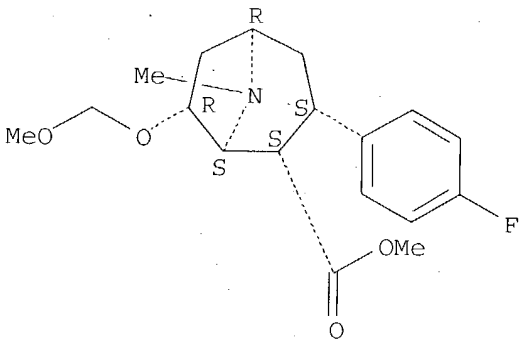
RN 187963-48-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



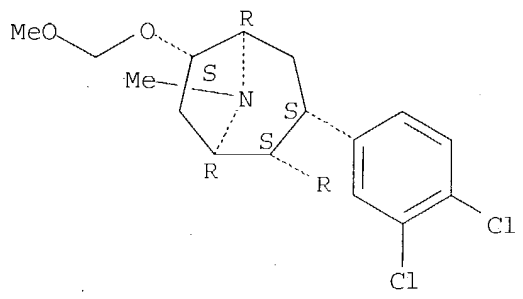
RN 187963-49-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

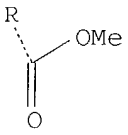
Relative stereochemistry.



RN 187963-50-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

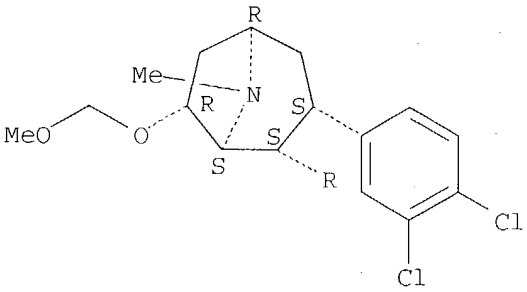
Relative stereochemistry.

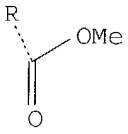




RN 187963-51-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

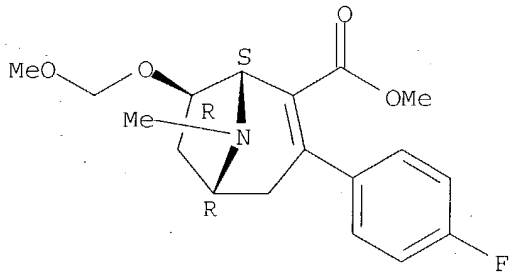
Relative stereochemistry.





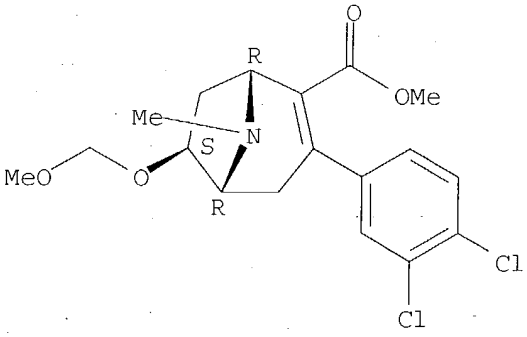
RN 187963-52-6 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(4-fluorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



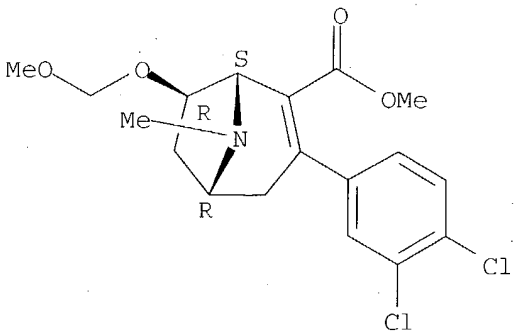
RN 187963-53-7 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-(methoxymethoxy)-8-methyl-, methyl ester, (1R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 187963-54-8 CAPLUS
CN 8-Azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-(methoxymethoxy)-8-methyl-, methyl ester, (1R,5S,7S)-rel- (9CI) (CA INDEX NAME)

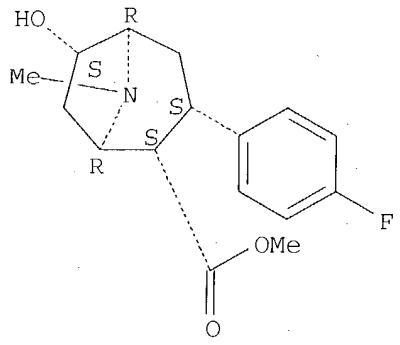
Relative stereochemistry.



IT **187963-13-9P 187963-28-6P 187963-30-0P**
187963-32-2P 187963-34-4P 187963-36-6P
187963-38-8P 187963-40-2P 187963-42-4P
187963-43-5P 187963-44-6P 187963-45-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 6- or 7-hydroxy and 6- or 7-methoxy tropanes)
RN 187963-13-9 CAPLUS

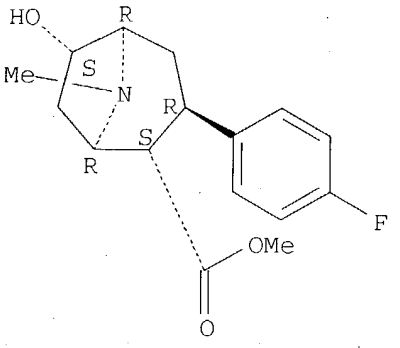
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



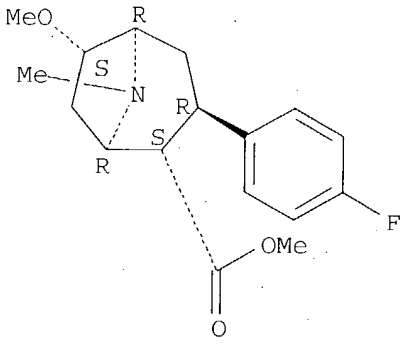
RN 187963-28-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



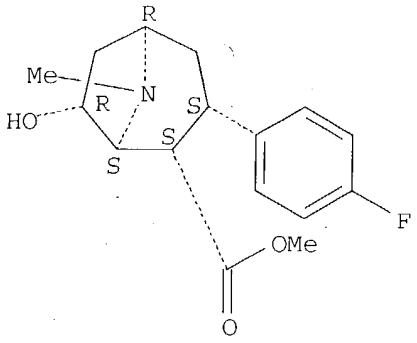
RN 187963-30-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-6-methoxy-8-methyl-, methyl ester, (2-exo,3-endo,6-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



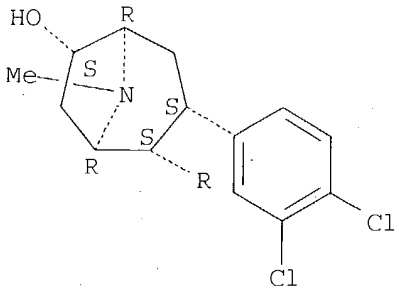
RN 187963-32-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

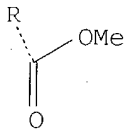
Relative stereochemistry.



RN 187963-34-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3S,5R,6S)-rel- (9CI) (CA INDEX NAME)

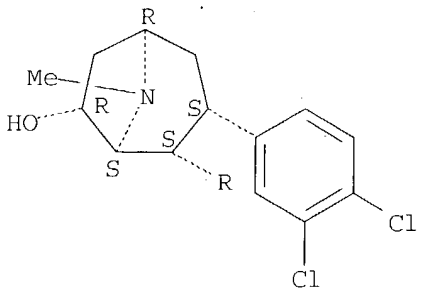
Relative stereochemistry.

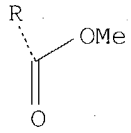




RN 187963-36-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3R,5S,7S)-rel- (9CI) (CA INDEX NAME)

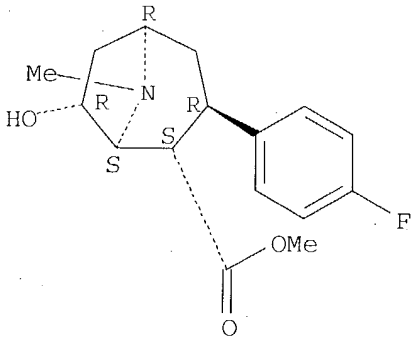
Relative stereochemistry.





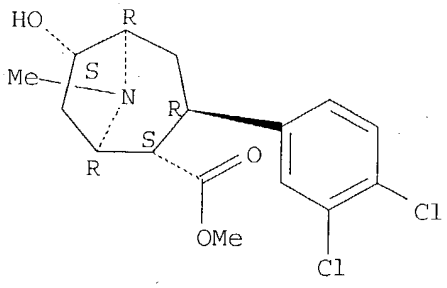
RN 187963-38-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 187963-40-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-hydroxy-8-methyl-, methyl ester, (1R,2S,3R,5R,6S)-rel- (9CI) (CA INDEX NAME)

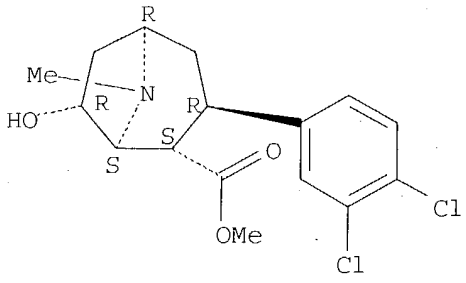
Relative stereochemistry.



RN 187963-42-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-hydroxy-8-methyl-, methyl ester, (1R,2R,3S,5S,7S)-rel- (9CI) (CA INDEX

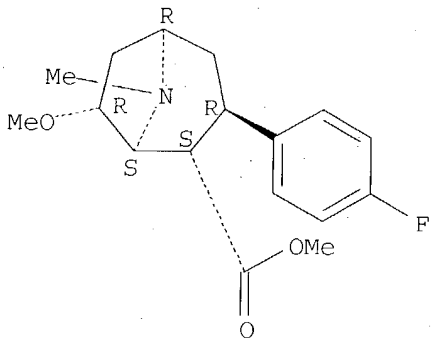
NAME)

Relative stereochemistry.



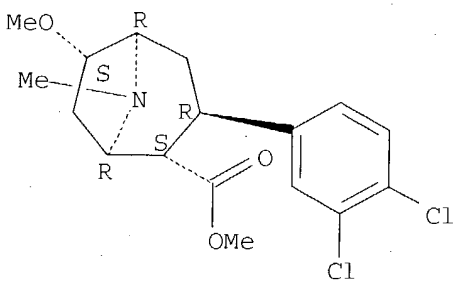
RN 187963-43-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(4-fluorophenyl)-7-methoxy-8-methyl-, methyl ester, (2-exo,3-endo,7-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



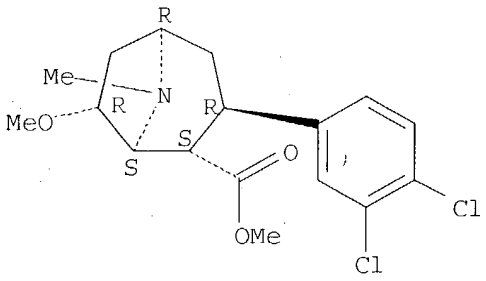
RN 187963-44-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-6-methoxy-8-methyl-, methyl ester, (2-exo,3-endo,6-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 187963-45-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-(3,4-dichlorophenyl)-7-methoxy-8-methyl-, methyl ester, (2-exo,3-endo,7-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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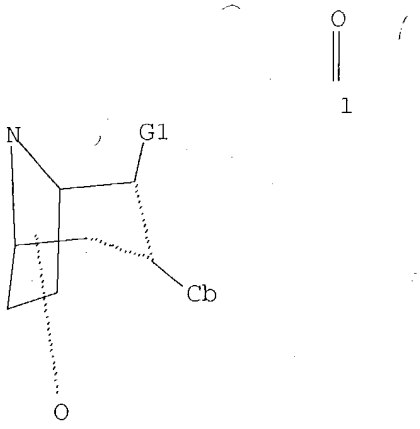

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Day : Friday
Date: 2/27/2004
Time: 12:50:28

Inventor Name Search Result

Your Search was:

Last Name = MELTZER
First Name = PETER

Application#	Patent#	Status	Date Filed	Title
60401836	Not Issued	159	08/06/2002	SYNTHESIS OF ALL FOUR ISOMERS OF 2-(3",4"-DICHLOROPHENYL)-2-(TETRAHYDROPYRA ACETIC ACID METHYL ESTER (1'-OXADICHLOROMETHYLPHENIDATE)
60375505	Not Issued	159	04/25/2002	TROPANE COMPOUNDS
60368382	Not Issued	159	03/28/2002	TROPANE COMPOUNDS
60367400	Not Issued	159	03/25/2002	DESIGN AND SYNTHESIS OF A MECHANISM BASEI IRREVERSIBLE DOPAMINE-SPARING COCAINE AN
60355111	Not Issued	159	02/08/2002	SYNTHESIS OF A MECHANISM BASED IRREVERSIB DOPAMINE-SPARING COCAINE ANTAGONIST
60328532	Not Issued	159	10/11/2001	NON-AMINES, DRUGS WITHOUT AN AMINE NITRO POTENTLY BLOCK SEROTONIN TRANSPORT: NOVI ANTIDEPRESSANT CANDIDATES
60327963	Not Issued	159	10/09/2001	SYNTHESIS OF 6-AND 7-HYDROXY-8-AZABICYCLO OCTANES AND THEIR BINDING AFFINITY FOR THE AND SEROTONIN TRANSPORTERS
60318411	Not Issued	159	09/10/2001	SYNTHESIS AND IODINATION OF ALTROPANE
60313205	Not Issued	159	08/17/2001	THERAPEUTIC TROPANE COMPOUNDS
60300133	Not Issued	159	06/22/2001	METHODS FOR DIAGNOSING AND MONITORING TI AD-HD BY ASSESSING THE DOPAMINE TRANSPOR
60298565	Not Issued	159	06/15/2001	NEUROTRANSMITTER SITE-SPARING ANTAGONIS COCAINE OR OTHER SUBSTANCES ACTING AT MO TRANSPORTERS AND RECEPTORS
60290649	Not Issued	159	05/15/2001	INCORPORATION OF A CANNABINOID AND AN OPI SINGLE COMPOUND
60141540	Not Issued	159	06/28/1999	IMAGING THE DOPAMINE TRANSPORTER TO DETI AD-HD
60133761	Not	159	05/12/1999	DOPAMINE TRANSPORTER IMAGING AGENTS

	Issued			
10400825	Not Issued	030	03/27/2003	TROPANE COMPOUNDS
10374892	Not Issued	030	02/24/2003	COMPOUNDS WITH HIGH MONOAMINE TRANSPOR AFFINITY
10364028	Not Issued	020	02/10/2003	THERAPEUTIC COMPOUNDS
10350151	Not Issued	160	01/23/2003	COMPOUNDS WITH HIGH MONOAMINE TRANSPOR AFFINITY
10222530	Not Issued	071	08/16/2002	THERAPEUTIC TROPANE COMPOUNDS
10097062	Not Issued	161	03/13/2002	TROPANE ANALOGS AND METHODS FOR INHIBITI MONOAMINE TRANSPORT
10095897	Not Issued	041	03/12/2002	METHODS FOR DIAGNOSING AND MONITORING TI ADHD BY ASSESSING THE DOPAMINE TRANSPORT
10085482	6677338	150	02/28/2002	SEROTONIN TRANSPORT INHIBITORS
10033621	Not Issued	071	12/27/2001	TROPANE ANALOGS AND METHODS FOR INHIBITI MONOAMINE TRANSPORT
09975586	Not Issued	041	10/11/2001	BOAT TROPANES
09932302	Not Issued	071	08/17/2001	METHODS FOR DIAGNOSING AND MONITORING TI ADHD BY ASSESSING THE DOPAMINE TRANSPORT
09875523	6670375	150	06/06/2001	TROPANE ANALOGS AND METHODS FOR INHIBITI MONOAMINE TRANSPORT
09691396	6525206	150	10/17/2000	COMPOUNDS WITH HIGH MONOAMINE TRANSPOR AFFINITY
09671534	6417221	150	09/27/2000	TROPANE ANALOGS AND METHODS FOR INHIBITI MONOAMINE TRANSPORT
09605621	Not Issued	168	06/28/2000	IMAGING THE DOPAMINE TRANSPORTER TO DETI AD-HD
09568106	6548041	150	05/10/2000	DOPAMINE TRANSPORTER IMAGING AGENTS
09314441	6353105	150	05/19/1999	TROPANE ANALOGS AND METHODS FOR INHIBITI MONOAMINE TRANSPORT
08980997	Not Issued	164	12/01/1997	USE OF SPIPERON OR SPIPERONE DERIVATIVES AS IMMUNOSUPPRESSANT AGENTS
08893921	5948933	150	07/11/1997	TROPANE ANALOGS AND METHODS FOR INHIBITI MONOAMINE TRANSPORT
08649258	5770180	150	04/26/1996	BRIDGE-SUBSTITUTED TROPANES FOR METHODS AND THERAPY
08605332	5853696	150	02/20/1996	SUBSTITUTED 2-CARBOXYALKYL-3(FLUOROPHENYL)-8-(3-HALOI

				NORTROPANES AND THEIR USE AS IMAGING AGE NEURODEGENERATIVE DISORDERS
08552584	6171576	150	11/03/1995	DOPAMINE TRANSPORTER IMAGING AGENT
08548271	Not Issued	161	10/25/1995	COCAINE ANALOGUES AND THEIR USE AS COCAI THERAPIES AND THERAPEUTIC AND IMAGING AG NEURODEGENERATIVE DISORDERS
08256158	5693645	250	08/31/1994	USE OF SPIPERONE OR SPIPERONE DERIVATIVES / IMMUNOSUPPRESSANT AGENTS
08142584	5493026	150	10/25/1993	SUBSTITUTED 2-CARBOXYALKYL-3-(FLUOROPHENYL)-8-(3-HALO NORTROPANES AND THEIR USE AS IMAGING FOR NEURODEGENERATIVE DISORDERS
08111141	5506359	150	08/24/1993	COCAINE ANALOGUES AND THEIR USE AS COCAI THERAPIES AND THERAPEUTIC AND IMAGING AG NEURODEGENERATIVE DISORDERS
08037271	5484788	150	03/26/1993	BUSPIRONE AS A SYSTEMIC IMMUNOSUPPRESSAI
07934362	Not Issued	161	08/24/1992	COCAINE ANALOGUES AND THEIR USE AS COCAI THERAPIES AND THERAPEUTIC AND IMAGING AG NEURODEGENERATIVE DISORDERS
07893536	5703088	250	06/04/1992	TOPICAL APPLICATION OF SPIPERONE OR DERIVA THEREOF FOR TREATMENT OF PATHOLOGICAL C ASSOCIATED WITH IMMUNE RESPONSES
07893534	5574041	150	06/04/1992	USE OF SPIPERONE DERIVATIVES AS IMMUNOSUP AGENTS
06547676	4535157	150	11/01/1983	PROCESS FOR MAKING 6-DESOXY-6-METHYLENEI AND 6-DESOXY-6- METHYLENENALTREXONE
06269747	4368585	250	06/02/1981	DISPLAY FRAME FOR DECORATIVE OBJECT

Inventor Search Completed: No Records to Display.

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Last Name Meltzer **First Name** Peter

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